

A METHOD FOR THE ENANTIOMOERIC SEPARATION OF

OPTICAL ACTIVE AMLODIPINE

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This application is a 371 of PCT/CN04/01412 filed 12/03/2004.

Technical Field

- 5 The present invention relates to a method for the chemical resolution of racemic amlodipine.

Background Art

- Amlodipine is a calcium ion antagonist, which is used for clinically treating
10 hypertension and stable angina. Currently, amlodipine clinically used is substantially the raceme thereof. It is reported that the main pharmacological active ingredient in the raceme is (S)-(-)-amlodipine and the antagonistic activity thereof against calcium ion is about 1000 times to that of (R)-(+)-amlodipine and double to that of the raceme per se by Arrowsmith, J.E. et al., J. Med. Chem. (1986) 29;
15 1696-1702. WO93/10779 (Young,J.W.,) discloses that the administration of (S)-(-)-amlodipine can reduce the side effects such as acroedema, headache, dizziness etc. as compared with using racemic amlodipine. Accordingly, the therapies of hypertension and stable angina by administrating (S)-(-)-amlodipine have a good market prospect. The other enantiomer, (R)-(+)-amlodipine, has the
20 activity of treating atherosclerosis.

The chemical structure formula of amlodipine is showed by

